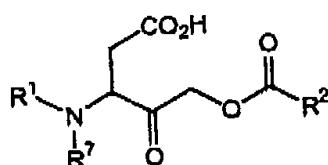


U.S. Serial No. 09/284,424  
Group Art Unit No. 1623

**IN THE CLAIMS:**

Please amend claims 1, 17, 18, 20 and 34 as follows:

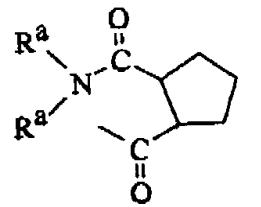
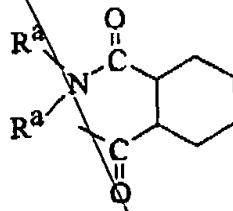
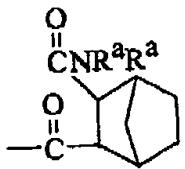
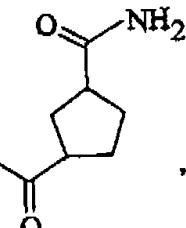
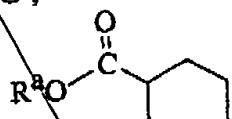
(Thrice Amended) A compound of the Formula I



wherein R<sup>1</sup> is R<sup>3</sup>OC-,

$$\begin{array}{c} \text{R}^3\text{CO}-, \\ \text{R}^3\text{SO}_2- \end{array}$$

$$\begin{array}{c} \text{R}^a \\ | \\ \text{R}^5\text{NCHR}^6\text{CO}_2 \end{array}$$



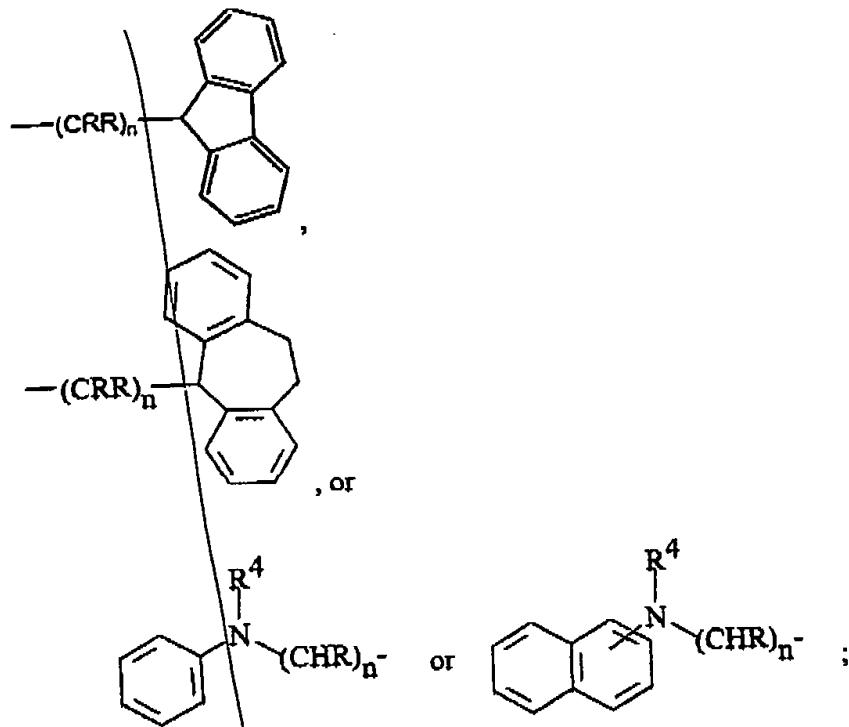
each  $R^a$  is independently hydrogen,  $C_1-C_6$  alkyl, or  $-(CH_2)_n$  aryl;

R<sup>2</sup> is -(CRR)<sub>n</sub>-aryl,  
-(CRR)<sub>n</sub>-X-aryl,  
-(CRR)<sub>n</sub>-(substituted-aryl),

U.S. Serial No. 09/284,424  
Group Art Unit No. 1623

cont'd

U.S. Serial No. 09/284,424  
Group Art Unit No. 1623



each R is independently hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, halogen or hydroxy;

X is O or S;

R<sup>3</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl,

aryl,

$-(CHR)_n$ -aryl,

$-(CHR)_n$ -substituted aryl,

$\begin{array}{c} O \\ \parallel \\ -(CRR)_nCOR^a \end{array}$ ,

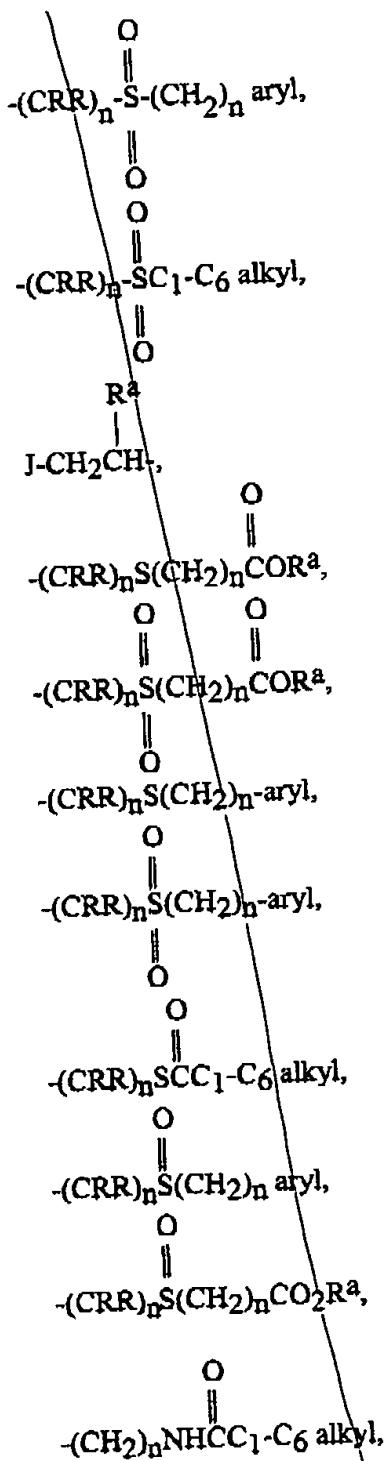
$-(CRR)_nO(CH_2)_n$ -aryl,

cycloalkyl,

substituted cycloalkyl,

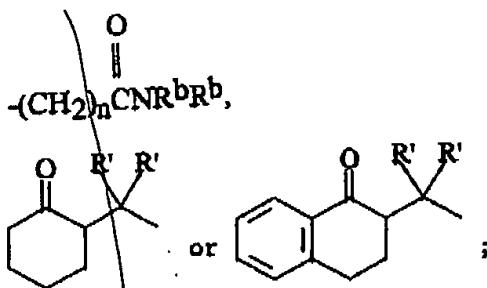
$\begin{array}{c} O \\ \parallel \\ -(CRR)_nCNR^aR^a \end{array}$ ,

U.S. Serial No. 09/284,424  
Group Art Unit No. 1623



C  
C  
cont'd

U.S. Serial No. 09/284,424  
Group Art Unit No. 1623



each  $R'$  is independently  $C_1$ - $C_6$  alkyl,  
 $C_1$ - $C_6$  alkylaryl,

aryl, or  
hydrogen;

each  $J$  is independently  
 $-NH-SO_2-(C_1-C_6\text{-alkyl})$ ,  
 $-CO_2R^b$ ,  
 $-CONR^bR^b$ ,  
 $-SO_2NR^bR^b$ , or  
 $-SO_2R^b$ ;

each  $R^b$  is independently hydrogen,  $C_1$ - $C_6$  alkyl, aryl, substituted aryl, arylalkyl, or  
substituted arylalkyl;

$R^4$  is hydrogen,  
 $C_1$ - $C_6$  alkyl,

$CH_3OC-$ ,  
-phenyl, or

$C_1$ - $C_6$  alkyl  $C^-$ ;

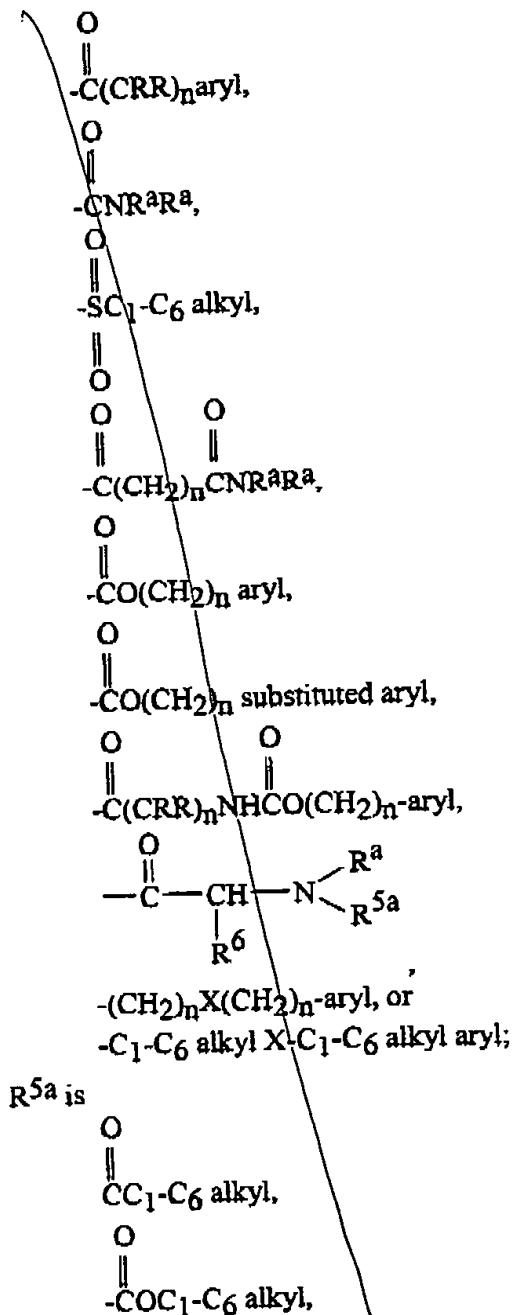
$R^5$  is  $C_1$ - $C_6$  alkyl- $CO^-$ ,  
 $-(CH_2)_n$  aryl,

$C_1$ - $C_6$ -alkyl- $OC^-$ ,  
 $C_1$ - $C_6$ -alkyl- $X-(CH_2)_n CO^-$ ,

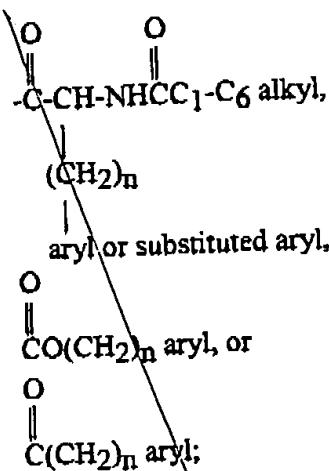
$C_1$ - $C_6$ -alkyl- $X-(CH_2)_n OC^-$ ,

C  
1  
Cont'd

U.S. Serial No. 09/284,424  
Group Art Unit No. 1623



U.S. Serial No. 09/284,424  
Group Art Unit No. 1623



$R^6$  is hydrogen,  
 $C_1\text{-C}_6$  alkyl,  $-(\text{CH}_2)_n$  aryl,  $-(\text{CH}_2)_n\text{CO}_2\text{R}^a$ , or hydroxyl substituted  $C_1\text{-C}_6$  alkyl;

$R^7$  is hydrogen,  $-\text{S-}(C_1\text{-C}_6\text{-alkyl})$ , or  $-\text{SO}_2\text{-}(C_1\text{-C}_6\text{-alkyl})$ ;  
each  $n$  is independently 0 to 3, and the pharmaceutically acceptable, salts, esters, amides,  
and prodrugs thereof;

excluding the following compounds:

~~C  
CONT'D~~  
N-Benzoyloxycarbonyl-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Benzoyloxycarbonyl-L-aspartic acid 2,6-difluorobenzoyloxymethyl ketone;  
N-Benzoyloxycarbonyl-L-aspartic acid 2,6-dichloro-3-(2-N-morpholinylethoxy)benzoyloxymethyl ketone;  
N-Benzoyloxycarbonyl-L-aspartic acid 2,6-dimethoxybenzoyloxy methyl ketone;  
N-Benzoyloxycarbonyl-L-aspartic acid 2,6-dichloro-3-(benzoyloxy)benzoyloxymethyl ketone;  
N-Benzoyloxycarbonyl-L-aspartic acid 2-acetamido-6-chlorobenzoyloxymethyl ketone;  
N-Benzoyloxycarbonyl-L-aspartic acid 2,6-difluorobenzoyloxymethyl ketone;  
N-Benzoyloxycarbonyl-L-aspartic acid 3-(N-butylsulfonamido)-2,6-dichlorobenzoyloxymethyl ketone;  
N-Benzoyloxycarbonyl-L-aspartic acid 2,6-dichloro-3-sulfonamido benzoyloxymethyl ketone;  
N-Benzoyloxycarbonyl-L-aspartic acid 3-(N-benzylsulfonamido)-2,6-dichlorobenzoyloxymethyl ketone;  
N-Benzoyloxycarbonyl-L-aspartic acid 3-(N-(2-aminoacetamido)-sulfonamido)-2,6-dichlorobenzoyloxymethyl ketone;  
N-Benzoyloxycarbonyl-L-aspartic acid 2,6-dichloro-3-(N-morpholinylsulfonamido)benzoyloxymethyl ketone;  
N-Methoxycarbonyl-L-alanine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-(2-thienyl)carbonyl-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;

U.S. Serial No. 09/284,424  
Group Art Unit No. 1623

N-Methoxycarbonyl glycine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Methoxycarbonyl-L-phenylalanine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl  
ketone;  
N-Methoxycarbonyl-L-valine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Methoxycarbonyl-L-histidine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Benzyloxycarbonyl-L-valine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Benzyloxycarbonyl-L-alanine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Benzyloxycarbonyl-L-valine-L-alanine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl  
ketone;  
N-(2-Furonyl)carbonyl-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-(2-Furonyl)carbonyl-L-aspartic acid 2,6-dichloro-3-(N-  
morpholinylsulfonamido)benzoyloxymethyl ketone;  
N-(3-Phenylpropionyl)-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Methoxycarbonyl-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-(4-N,N-dimethylaminomethyl)benzoyl-L-aspartic acid 2,6-dichlorobenzoyloxymethyl  
ketone;  
N-Benzyloxycarbonyl-D-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Methoxy-L-histidine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Methoxy-glycine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Methoxy-L-alanine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Methoxy-L-valine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Benzyloxy-L-valine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Benzyloxy-D-alanine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Benzyloxy-L-alanine-L-alanine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Benzyloxy-L-valine-L-alanine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-Benzyloxy-D-alanine-L-alanine-L-aspartic acid 2,6-dichlorobenzoyloxymethyl ketone;  
N-(N-phenylpropionyl-valinyl-alaninyl)-3-amino-4-oxo-5-(2,6-bistrifluoro  
methylbenzoyloxy) pentanoic acid;  
N-(N-phenylpropionyl-valinyl-alaninyl)-3-amino-4-oxo-5-benzoyloxy pentanoic acid;  
N-(N-Acetyl-tyrosinyl-valinyl-alaninyl)-3-amino-4-oxo-5-(pentafluorobenzoyloxy)  
pentanoic acid;  
3-Phenylpropionyl-L-valine-L-alanine-aspartic acid 2-phenylethylcarbonyloxymethyl  
ketone;  
Adamantane-1-carboxylic acid 3-[2-(2-benzyloxycarbonylamino-3-methyl-  
butyrylamino)-propionylamino]-4-carboxy-2-oxo-butyl ester;  
Acridine-9-carboxylic acid 3-[2-(2-benzyloxycarbonylamino-3-methyl-butyrylamino)-  
propionylamino]-4-carboxy-2-oxo-butyl ester;  
1H-Indole-3-carboxylic acid 3-[2-(2-benzyloxycarbonylamino-3-methyl-butyrylamino)-  
propionylamino]-4-carboxy-2-oxo-butyl ester;  
2-Methyl-imidazo[1,2-a]pyridine-3-carboxylic acid 3-[2-(2-benzyloxycarbonylamino-3-  
methyl-butyrylamino)-propionylamino]-4-carboxy-2-oxo-butyl ester;  
2-Methoxy-3-methyl-quinoline-4-carboxylic acid 3-[2-(2-benzyloxycarbonylamino-3-  
methyl-butyrylamino)-propionylamino]-4-carboxy-2-oxo-butyl ester;  
1,3-Dimethyl-1H-indole-2-carboxylic acid 3-[2-(2-benzyloxycarbonylamino-3-methyl-  
butyrylamino)-propionylamino]-4-carboxy-2-oxo-butyl ester;

U.S. Serial No. 09/284,424  
Group Art Unit No. 1623

*C1*  
*Concl*

~~9H-Xanthene-9-carboxylic acid 3-[2-(2-benzyloxycarbonylamino-3-methyl-butyrylamino)-propionylamino]-4-carboxy-2-oxo-butyl ester;~~  
~~3-[2-(2-Benzyloxycarbonylamino-3-methyl-butyrylamino)-propionylamino]-5-diphenylacetoxy-4-oxo-pentanoic acid;~~  
~~2,6-Dichloro-benzoic acid 3-(5-benzyloxycarbonylamino-naphthalene-1-sulfonylamino)-4-carboxy-2-oxo-butyl ester;~~  
~~2,6-Dichloro-benzoic acid 3-[(2-(1-benzyloxycarbonylamino-2-methyl-propyl)-thiazole-4-carbonyl]-amino)-4-carboxy-2-oxo-butyl ester;~~  
~~2,6-Dichloro-benzoic acid 3-[2-(3-benzyloxycarbonylamino-phenyl)-propionylamino]-4-carboxy-2-oxo-butyl ester;~~  
~~2,6-Dichloro-benzoic acid 3-[(5-benzyloxycarbonylamino-1H-indole-3-carbonyl)-amino]-4-carboxy-2-oxo-butyl ester;~~  
~~2,6-Dichloro-benzoic acid 3-[2-(6-benzyloxycarbonyloxy-naphthalen-2-yl)-propionylamino]-4-carboxy-2-oxo-butyl ester;~~  
~~2,6-Dichloro-benzoic acid 3-(5-benzyloxycarbonylamino-naphthalene-1-sulfonylamino)-4-carboxy-2-oxo-butyl ester;~~  
~~2,6-Dichloro-benzoic acid 3-[(5-benzyloxycarbonylamino-naphthalene-1-carbonyl)-amino]-4-carboxy-2-oxo-butyl ester;~~  
~~2,6-Dichloro-benzoic acid 3-[(6-benzyloxycarbonylamino-5-oxo-octahydro-indolizine-3-carbonyl)-amino]-4-carboxy-2-oxo-butyl ester; and~~  
~~2,6-Dichloro-benzoic acid 3-[(4-benzyloxycarbonylamino-cyclohexanecarbonyl)-amino]-4-carboxy-2-oxo-butyl ester.~~

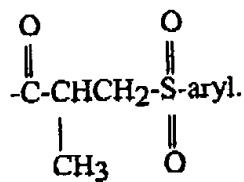
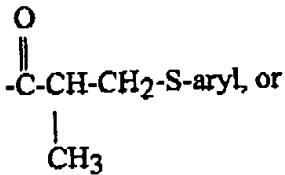
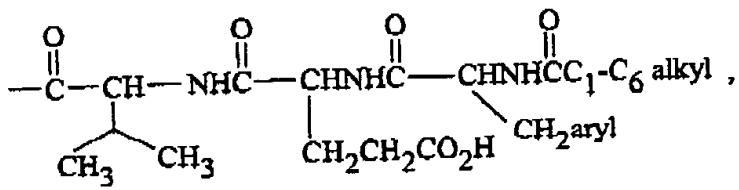
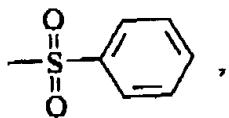
*C2*

17. (Amended) A compound according to Claim 1 wherein each  $R^a$  is hydrogen;  $R^1$  is benzyloxycarbonyl;  $R^2$  is aryl- $X(CRR)_{n-}$ , aryl- $(CRR)_{n-}$ , or cycloalkyl- $(CRR)_{n-}$ ;  $n$  is 1, 2, or 3;  $X$  is O or S; and  $R$  is hydrogen, methyl, or benzyl.

18. (Amended) A compound according to Claim 1 wherein each  $R^a$  is hydrogen;  $R^1$  is benzyloxycarbonyl; and  $R^3$  is  $-(CH_2)_n$ -naphthyl,  
 $-(CH_2)_n$ -phenyl,  
 $-(CH_2)_n$ -cycloalkyl,  
 $-(CH_2)_nO(CH_2)_n$ -naphthyl,  
 $-(CH_2)_nO(CH_2)_n$ -phenyl, or  
 $-(CH_2)_nS(CH_2)_n$ -phenyl.

U.S. Serial No. 09/284,424  
Group Art Unit No. 1623

20. (Twice Amended) A compound in accordance with Claim 1 wherein each  $R^a$  is hydrogen; and  
 $R^1$  is benzyloxycarbonyl,



34. (Amended) The compounds:

*C* 4

(S)-5-(Naphthalene-1-yl-acetoxy)-4-oxo-3-phenylacetylaminopentanoic acid;  
3-[(2-Carbamoyl-cyclopentanecarbonyl)-amino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
3-[(3-Carbamoyl-bicyclo[2.2.1]heptane-2-carbonyl)-amino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
3-(3-Methanesulfonyl-2-methyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
3-(3-Benzenesulfonyl-2-methyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
3-Butyrylaminoo-5-(naphthalen-2-yl-acetoxy)-4-oxo-pentanoic acid;  
3-Acetylaminoo-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
3-(3-Methanesulfonyl-2-methyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;  
3-(3-Methyl-butyrylaminoo)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

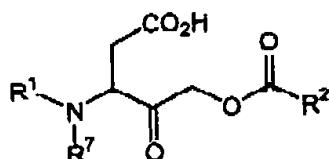
U.S. Serial No. 09/284,424  
Group Art Unit No. 1623

3-(3-Carbamoyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid; [S-(R\*,R\*)]-3-(3-Acetylsulfanyl-2-methyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid; and *trans*-3-[(3-Carbamoyl-cyclopentanecarbonyl)-amino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid.

*Please add new claims 52 – 54 as follows:*

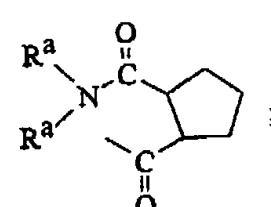
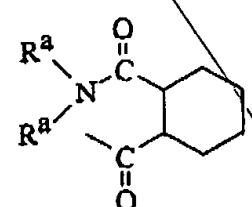
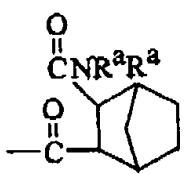
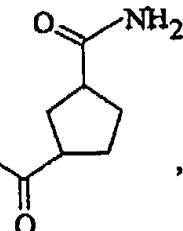
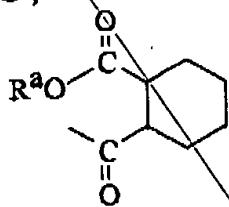
## 52. (New) A compound of the Formula I

52



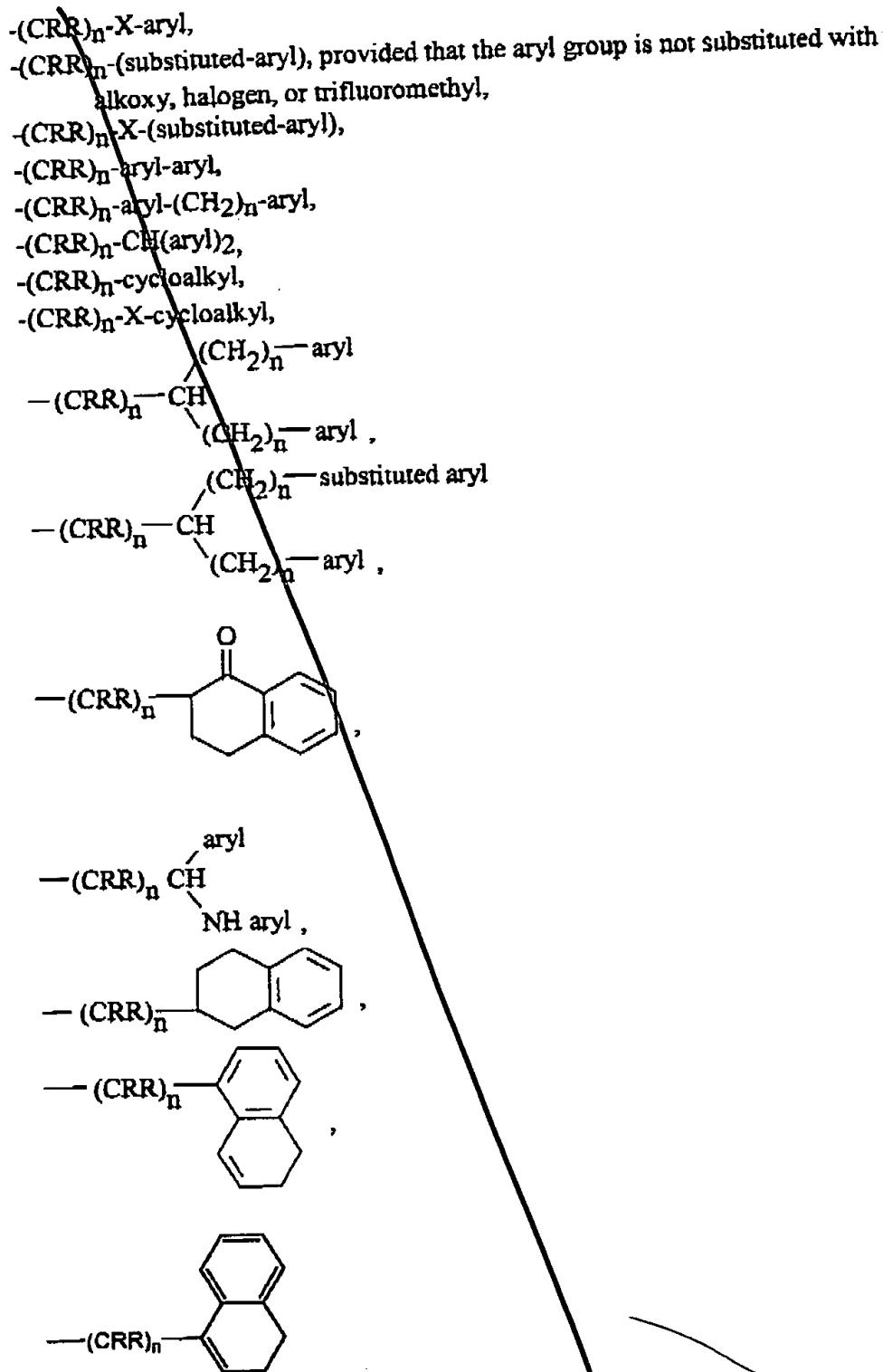
1

wherein R<sup>1</sup> is R<sup>3</sup>OC-,  
R<sup>3</sup>CO-,  
R<sup>3</sup>SO<sub>2</sub>-.

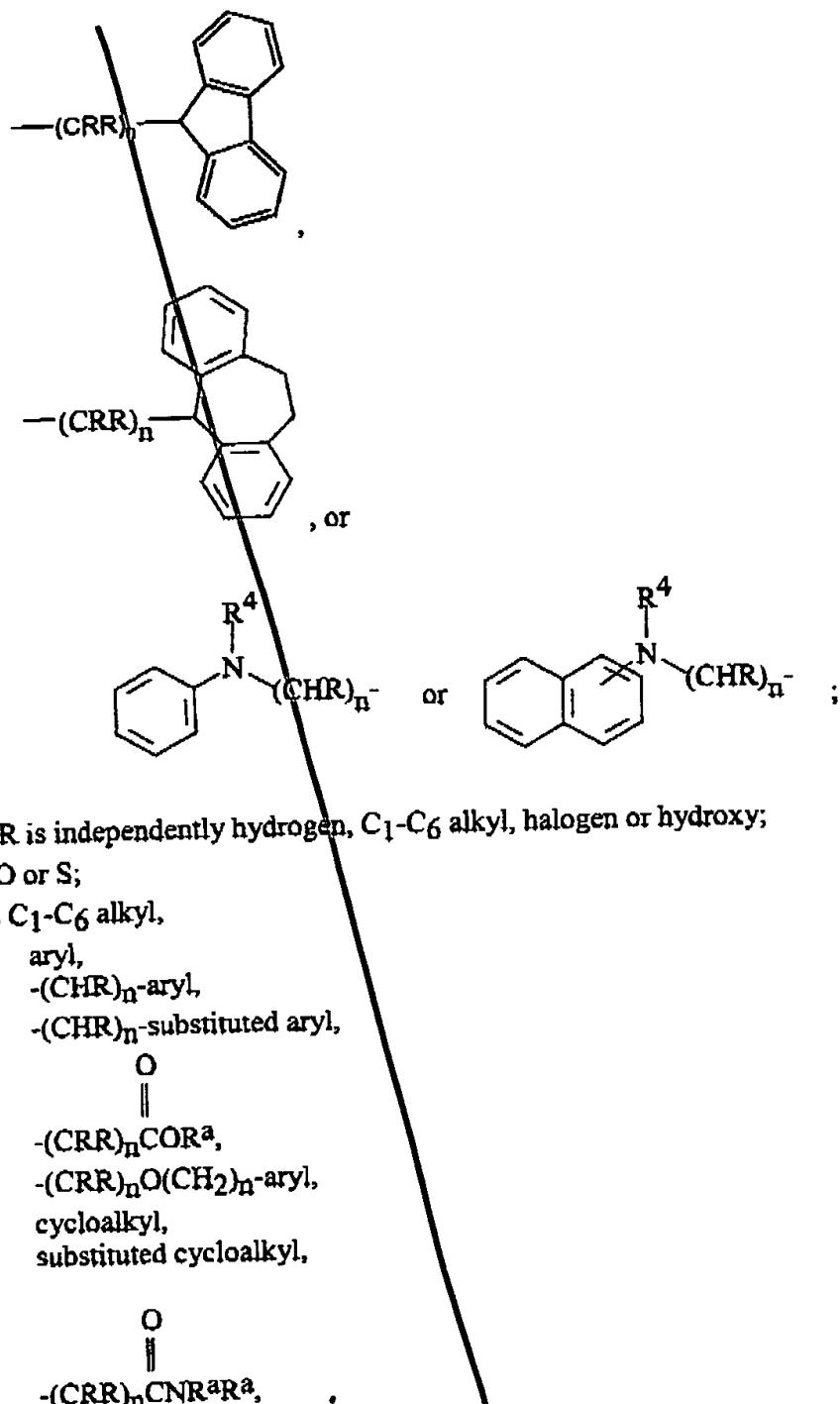


each R<sup>a</sup> is independently hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, or -(CH<sub>2</sub>)<sub>n</sub> aryl;  
R<sup>2</sup> is -(CRR')<sub>n</sub>-aryl,

U.S. Serial No. 09/284,424  
Group Art Unit No. 1623



U.S. Serial No. 09/284,424  
Group Art Unit No. 1623

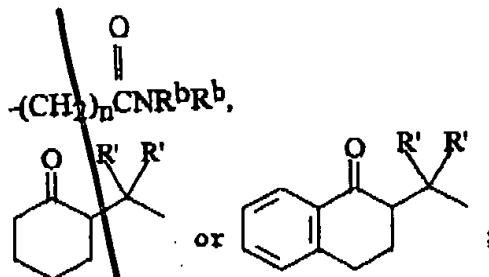


U.S. Serial No. 09/284,424  
Group Art Unit No. 1623

$-(CRR)_n-S-(CH_2)_n\text{ aryl}$ ,  
 $-(CRR)_n-SC_1-C_6\text{ alkyl}$ ,  
 $J-CH_2-CH_2$ ,  
 $-(CRR)_nS(CH_2)_nCOR^a$ ,  
 $-(CRR)_nS(CH_2)_nCOR^a$ ,  
 $-(CRR)_nS(CH_2)_n\text{-aryl}$ ,  
 $-(CRR)_nS(CH_2)_n\text{-aryl}$ ,  
 $-(CRR)_nSCC_1-C_6\text{ alkyl}$ ,  
 $-(CRR)_nS(CH_2)_n\text{ aryl}$ ,  
 $-(CRR)_nS(CH_2)_nCO_2R^a$ ,  
 $-(CH_2)_nNHCC_1-C_6\text{ alkyl}$

C<sup>5</sup>  
contd

U.S. Serial N . 09/284,424  
Group Art Unit No. 1623



each R' is independently C<sub>1</sub>-C<sub>6</sub> alkyl,  
C<sub>1</sub>-C<sub>6</sub> alkylaryl,  
aryl, or  
hydrogen;

each J is independently  
-NH-SO<sub>2</sub>(C<sub>1</sub>-C<sub>6</sub>-alkyl),  
-CO<sub>2</sub>R<sup>b</sup>,  
-CONR<sup>b</sup>R<sup>b</sup>,  
-SO<sub>2</sub>NR<sup>b</sup>R<sup>b</sup>, or  
-SO<sub>2</sub>R<sup>b</sup>;

each R<sup>b</sup> is independently hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, aryl, substituted aryl, arylalkyl, or  
substituted arylalkyl;

R<sup>4</sup> is hydrogen,  
C<sub>1</sub>-C<sub>6</sub> alkyl,

$CH_3OC-$ ,  
-phenyl, or

C<sub>1</sub>-C<sub>6</sub> alkyl C-;

R<sup>5</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl-CO-,  
-(CH<sub>2</sub>)<sub>n</sub> aryl,

C<sub>1</sub>-C<sub>6</sub>-alkylOC-,  
C<sub>1</sub>-C<sub>6</sub>-alkyl-X-(CH<sub>2</sub>)<sub>n</sub>CO,  
C<sub>1</sub>-C<sub>6</sub>-alkyl-X-(CH<sub>2</sub>)<sub>n</sub>OC-,

25  
cont'd

U.S. Serial No. 09/284,424  
Group Art Unit No. 1623

*C5*  
*51d*  
*CONT'D*

$\begin{array}{l} \text{-C}(\text{CRR})_n\text{aryl,} \\ \text{-CNR}^a\text{R}^a, \\ \text{-SC}_1\text{-C}_6\text{ alkyl,} \\ \text{-C}(\text{CH}_2)_n\text{CNR}^a\text{R}^a, \\ \text{-CO}(\text{CH}_2)_n\text{aryl,} \\ \text{-CO}(\text{CH}_2)_n\text{substituted aryl,} \\ \text{-C}(\text{CRR})_n\text{NHCO}(\text{CH}_2)_n\text{-aryl,} \\ \text{-C(=O)-CH(R}^6\text{)-N(R}^a\text{R}^{5a}, \\ \text{-(CH}_2)_n\text{X(CH}_2)_n\text{aryl, or} \\ \text{-C}_1\text{-C}_6\text{ alkyl X-C}_1\text{-C}_6\text{ alkyl aryl;} \end{array}$

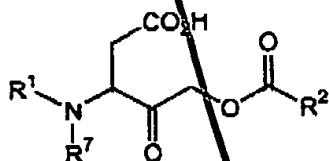
$\begin{array}{l} \text{R}^{5a} \text{ is} \\ \text{-CC}_1\text{-C}_6\text{ alkyl,} \\ \text{-COC}_1\text{-C}_6\text{ alkyl,} \end{array}$

U.S. Serial No. 09/284,424  
Group Art Unit No. 1623

$\begin{array}{c} \text{O} & \text{O} \\ \parallel & \parallel \\ \text{C}-\text{CH}-\text{NHCC}_1\text{-C}_6\text{ alkyl}, \\ | \\ (\text{CH}_2)_n \\ \text{aryl or substituted aryl}, \\ \text{O} \\ \parallel \\ \text{CO}(\text{CH}_2)_n\text{ aryl}, \\ \text{O} \\ \parallel \\ \text{C}(\text{CH}_2)_n\text{ aryl}; \end{array}$   
 R<sup>6</sup> is hydrogen,  
 C<sub>1</sub>-C<sub>6</sub> alkyl, -(CH<sub>2</sub>)<sub>n</sub> aryl, -(CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sup>a</sup>, or hydroxyl substituted C<sub>1</sub>-C<sub>6</sub> alkyl;  
 R<sup>7</sup> is hydrogen, -S-(C<sub>1</sub>-C<sub>6</sub>-alkyl), or -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>-alkyl);  
 each n is independently 0 to 3,  
 provided that when R<sup>5a</sup> is  
 $\begin{array}{c} \text{O} \\ \parallel \\ \text{CO}(\text{CH}_2)_n\text{ aryl}, \\ \text{then } n \text{ is } 0, 2, \text{ or } 3, \text{ and} \end{array}$   
 provided that when R<sup>5a</sup> is  
 $\begin{array}{c} \text{O} \\ \parallel \\ \text{C}(\text{CH}_2)_n\text{ aryl}, \\ \text{then } n \text{ is } 0, 1, \text{ or } 3, \end{array}$   
 and the pharmaceutically acceptable, salts, esters, amides, and prodrugs thereof.

*C<sup>15</sup>  
cont'd*

53. (New) A compound of the Formula I

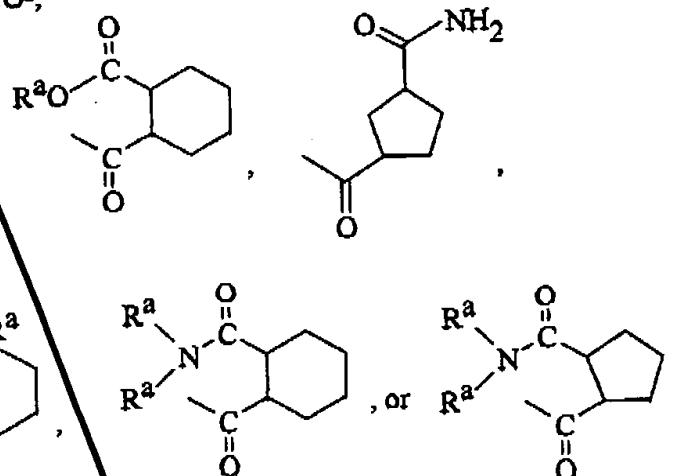


I

$\begin{array}{c} \text{O} \\ \parallel \\ \text{R}^1 \\ | \\ \text{R}^7 \end{array}$   
 wherein R<sup>1</sup> is R<sup>3</sup>OC-,

U.S. Serial No. 09/284,424  
Group Art Unit No. 1623

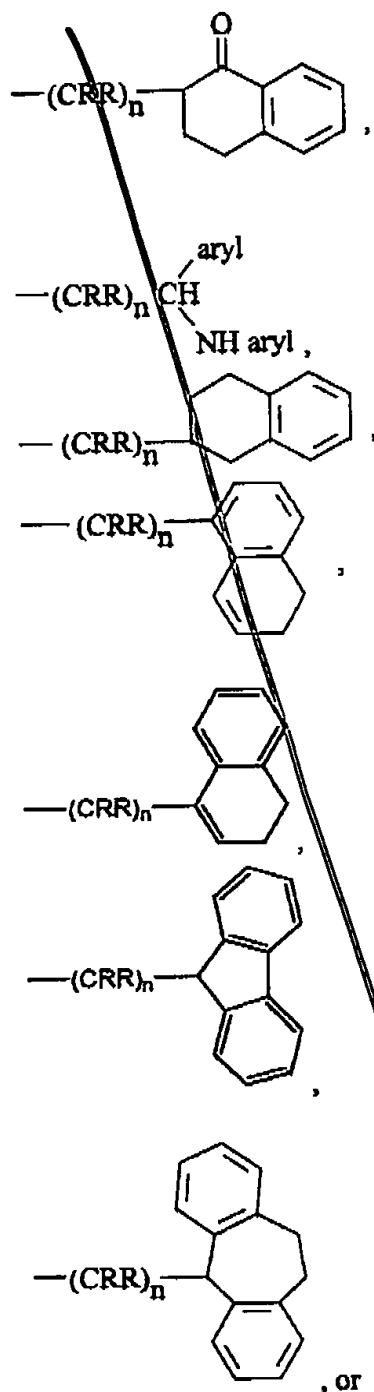
$R^3CO-$ ,  
 $R^3SO_2-$ ,  
 $R^a$   
 $R^5NCHR^6CO-$ ,



each  $R^a$  is independently hydrogen,  $C_1-C_6$  alkyl, or  $-(CH_2)_n$  aryl;

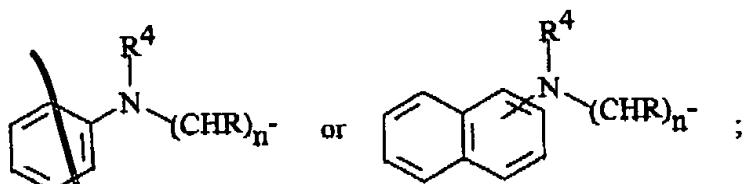
$R^2$  is  
 $-(CRR)_n$ -aryl,  
 $-(CRR)_n$ -X-aryl,  
 $-(CRR)_n$ -X-(substituted-aryl),  
 $-(CRR)_n$ -aryl-aryl,  
 $-(CRR)_n$ -aryl- $(CH_2)_n$ -aryl,  
 $-(CRR)_n$ -CH(aryl) $_2$ ,  
 $-(CRR)_n$ -cycloalkyl,  
 $-(CRR)_n$ -X-cycloalkyl,  
 $-(CRR)_n$ -CH $(CH_2)_n$ -aryl  
 $-(CRR)_n$ -CH $(CH_2)_n$ -aryl ,  
 $-(CRR)_n$ -CH $(CH_2)_n$ -substituted aryl  
 $-(CRR)_n$ -CH $(CH_2)_n$ -aryl ,

U.S. Serial No. 09/284,424  
Group Art Unit No. 1623



C5  
Cont'd

U.S. Serial No. 09/284,424  
Group Art Unit No. 1623



each R is independently hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, halogen or hydroxy;

X is O or S;

R<sup>3</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl,  
aryl,  
-(CHR)<sub>n</sub>-aryl,  
-(CHR)<sub>n</sub>-substituted aryl,

$\begin{array}{c} \text{O} \\ \parallel \\ \text{-(CRR)}_n\text{COR}^a, \\ \text{-(CRR)}_n\text{O(CH}_2\text{)}_n\text{-aryl,} \\ \text{cycloalkyl,} \\ \text{substituted cycloalkyl} \end{array}$

$\begin{array}{c} \text{O} \\ \parallel \\ \text{-(CRR)}_n\text{CNR}^a\text{R}^a, \end{array}$

$\begin{array}{c} \text{O} \\ \parallel \\ \text{-(CRR)}_n\text{-S-(CH}_2\text{)}_n\text{ aryl,} \end{array}$

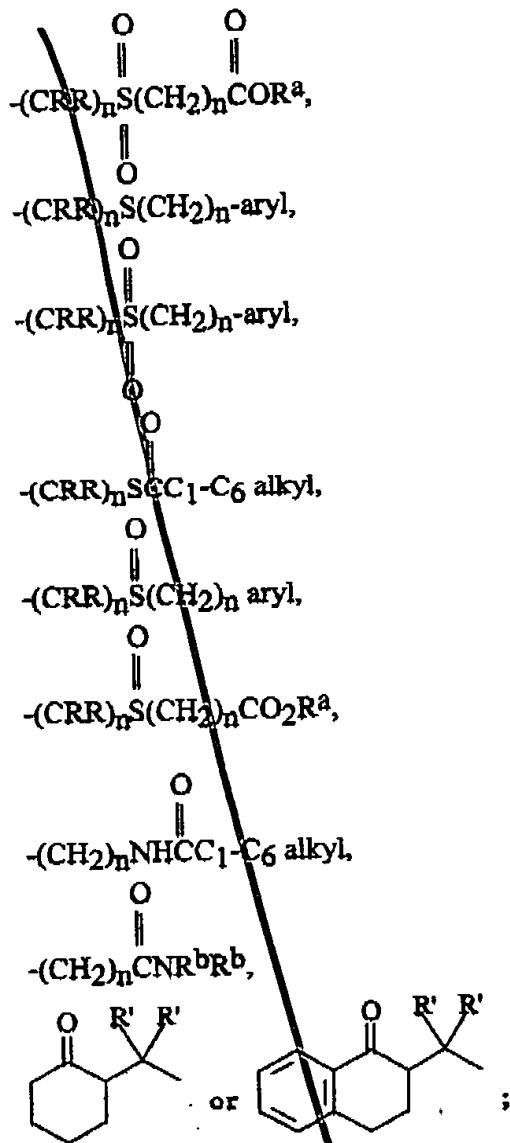
$\begin{array}{c} \text{O} \\ \parallel \\ \text{O} \\ \parallel \\ \text{-(CRR)}_n\text{-SC}_1\text{-C}_6\text{ alkyl.} \end{array}$

$\begin{array}{c} \text{R}^a \\ | \\ \text{J-CH}_2\text{CH-}, \end{array}$

$\begin{array}{c} \text{O} \\ \parallel \\ \text{-(CRR)}_n\text{S(CH}_2\text{)}_n\text{COR}^a, \end{array}$

C5  
Cont'd

U.S. Serial No. 09/284,424  
Group Art Unit No. 1623



each  $\text{R}'$  is independently  $\text{C}_1\text{-C}_6$  alkyl,

$\text{C}_1\text{-C}_6$  alkylaryl,

aryl, or

hydrogen;

each  $\text{J}$  is independently

$-\text{NH-SO}_2\text{-}(\text{C}_1\text{-C}_6\text{-alkyl}),$

$-\text{CO}_2\text{R}^b,$

$-\text{CONR}^b\text{R}^b,$

$-\text{SO}_2\text{NR}^b\text{R}^b,$  or

U.S. Serial No. 09/284,424

Group Art Unit No. 1623

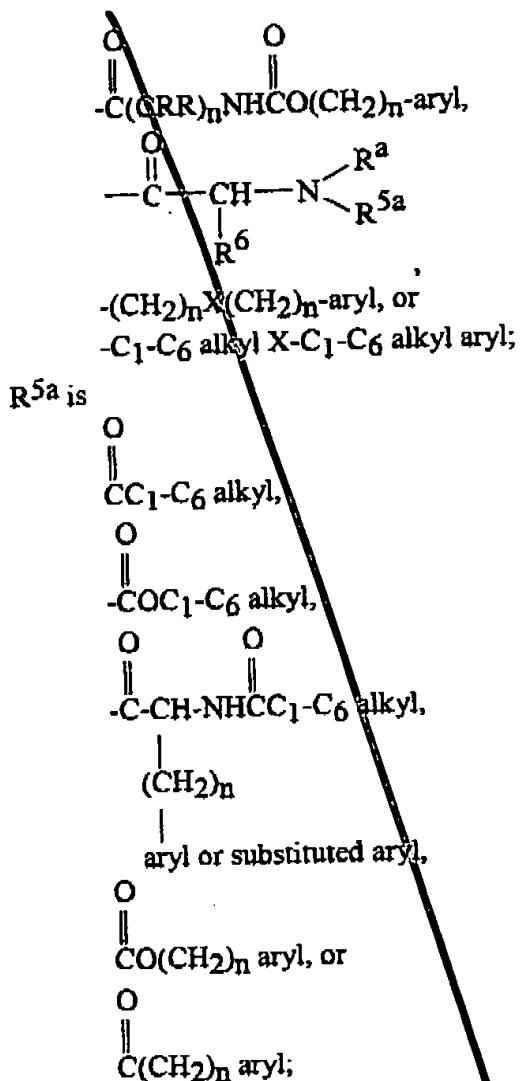
~~-SO<sub>2</sub>R<sup>b</sup>;~~  
each R<sup>b</sup> is independently hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, aryl, substituted aryl, arylalkyl, or  
substituted arylalkyl;

R<sup>4</sup> is hydrogen,  
C<sub>1</sub>-C<sub>6</sub> alkyl,  
 $\begin{array}{c} \text{O} \\ \parallel \\ \text{CH}_3\text{OC}- \end{array}$ ,  
-phenyl, or  
 $\begin{array}{c} \text{O} \\ \parallel \\ \text{C}_1\text{-C}_6 \text{ alkyl C}- \end{array}$ ;

R<sup>5</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl-CO-,  
-(CH<sub>2</sub>)<sub>n</sub> aryl,  
 $\begin{array}{c} \text{O} \\ \parallel \\ \text{C}_1\text{-C}_6\text{-alkylOC}- \end{array}$ ,  
 $\begin{array}{c} \text{O} \\ \parallel \\ \text{C}_1\text{-C}_6\text{-alkyl-X-(CH}_2\text{)}_n\text{CO}- \end{array}$ ,  
 $\begin{array}{c} \text{O} \\ \parallel \\ \text{C}_1\text{-C}_6\text{-alkyl-X-(CH}_2\text{)}_n\text{OC}- \end{array}$ ,  
 $\begin{array}{c} \text{O} \\ \parallel \\ -\text{C}(\text{CRR})_n\text{aryl,} \end{array}$   
 $\begin{array}{c} \text{O} \\ \parallel \\ -\text{CNR}^a\text{R}^a, \end{array}$   
 $\begin{array}{c} \text{O} \\ \parallel \\ -\text{SC}_1\text{-C}_6 \text{ alkyl,} \end{array}$   
 $\begin{array}{c} \text{O} \\ \parallel \\ -\text{C}(\text{CH}_2\text{)}_n\text{CNR}^a\text{R}^a, \end{array}$   
 $\begin{array}{c} \text{O} \\ \parallel \\ -\text{CO}(\text{CH}_2\text{)}_n \text{ aryl,} \end{array}$   
 $\begin{array}{c} \text{O} \\ \parallel \\ -\text{CO}(\text{CH}_2\text{)}_n \text{ substituted aryl,} \end{array}$

C5  
CONT'D

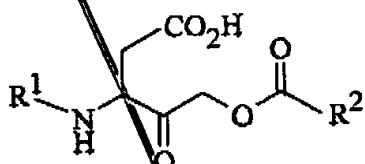
U.S. Serial No. 09/284,424  
Group Art Unit No. 1623



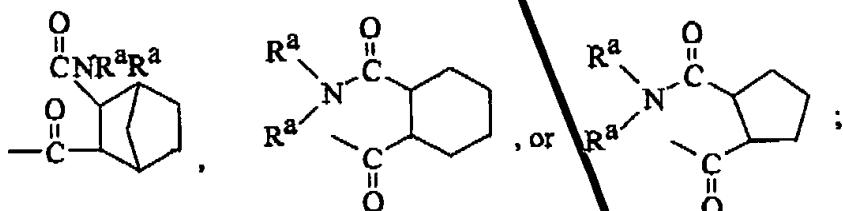
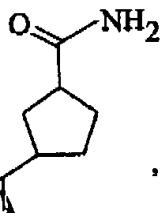
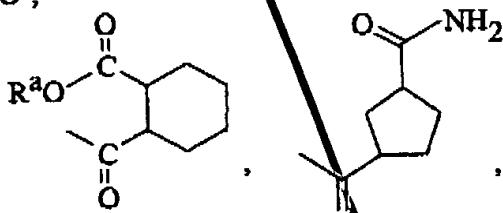
U.S. Serial No. 09/284,424  
Group Art Unit No. 1623

$\text{C}(\text{CH}_2)_n$  aryl,  
then  $n$  is 0, 1, or 3,  
and the pharmaceutically acceptable, salts, esters, amides, and prodrugs thereof.

54. (New) A compound of the Formula I



wherein  $\text{R}^1$  is  $\text{R}^3\text{OC}-$ ,  
 $\text{R}^3\text{CO}-$ ,  
 $\text{R}^3\text{SO}_2-$ ,  
 $\text{R}^a$   
 $\text{R}^5\text{NCHR}^6\text{CO}-$ ,



each  $\text{R}^a$  is independently hydrogen,  $\text{C}_1\text{-C}_6$  alkyl, or  $-(\text{CH}_2)_n$  aryl;

$\text{R}^2$  is  $-(\text{CRR})_n$ -aryl,  
 $-(\text{CRR})_n\text{-X-aryl}$ ,

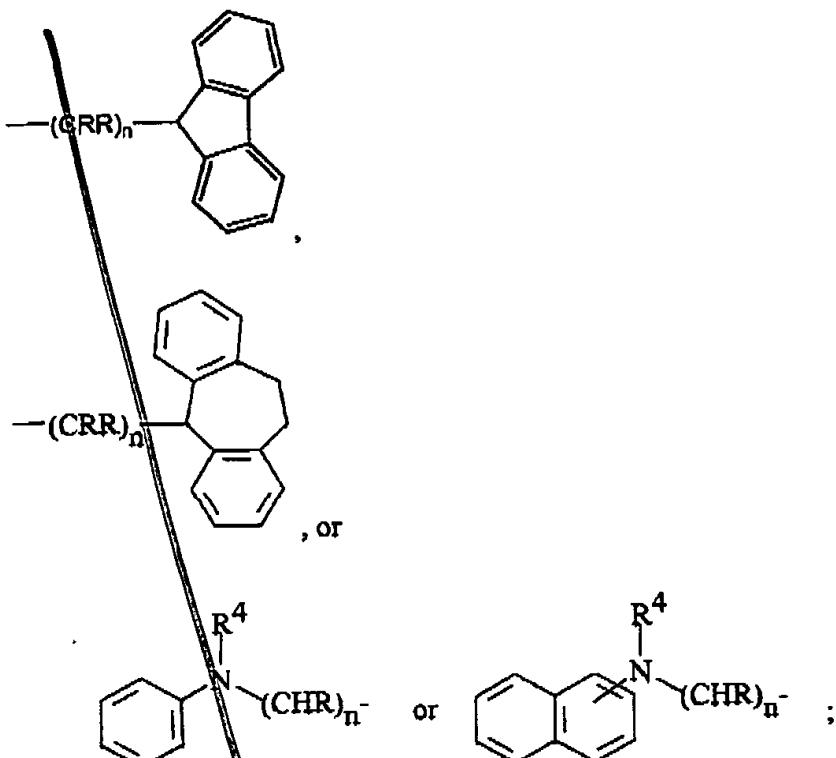
U.S. Serial No. 09/284,424

Group Art Unit No. 1623

~~$-(CRR)_n-X-(\text{substituted-aryl}),$   
 $-(CRR)_n-\text{aryl-aryl},$   
 $-(CRR)_n-\text{aryl}-(CH_2)_n-\text{aryl},$   
 $-(CRR)_n-\text{CH}(\text{aryl})_2,$   
 $-(CRR)_n-\text{cycloalkyl},$   
 $-(CRR)_n-X-\text{cycloalkyl},$   
 $-(CRR)_n-\text{CH}-(CH_2)_n-\text{aryl}$   
 $-(CRR)_n-\text{CH}-(CH_2)_n-\text{substituted aryl}$   
 $-(CRR)_n-\text{CH}-(CH_2)_n-\text{aryl},$   
 $-(CRR)_n-\text{C}(=\text{O})-\text{cyclohexa-2,6-dienyl},$   
 $-(CRR)_n-\text{CH}-(\text{aryl})-\text{NH aryl},$   
 $-(CRR)_n-\text{cyclohexa-2,6-dienyl},$   
 $-(CRR)_n-\text{cyclohexa-2,5-dienyl}$~~

C5  
cont'd

U.S. Serial No. 09/284,424  
Group Art Unit No. 1623



each R is independently hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, halogen or hydroxy;

X is O or S;

R<sup>3</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl,

aryl,

$-(CHR)_n$ -aryl,

$-(CHR)_n$ -substituted aryl

$\begin{array}{c} O \\ || \\ -(CRR)_nCOR^a \end{array}$

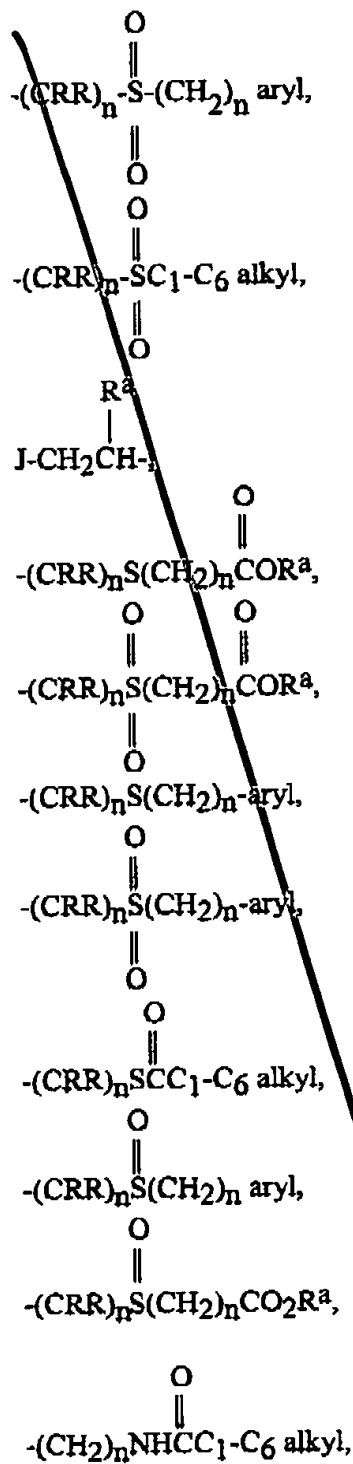
$-(CRR)_nO(CH_2)_n$ -aryl,

cycloalkyl,

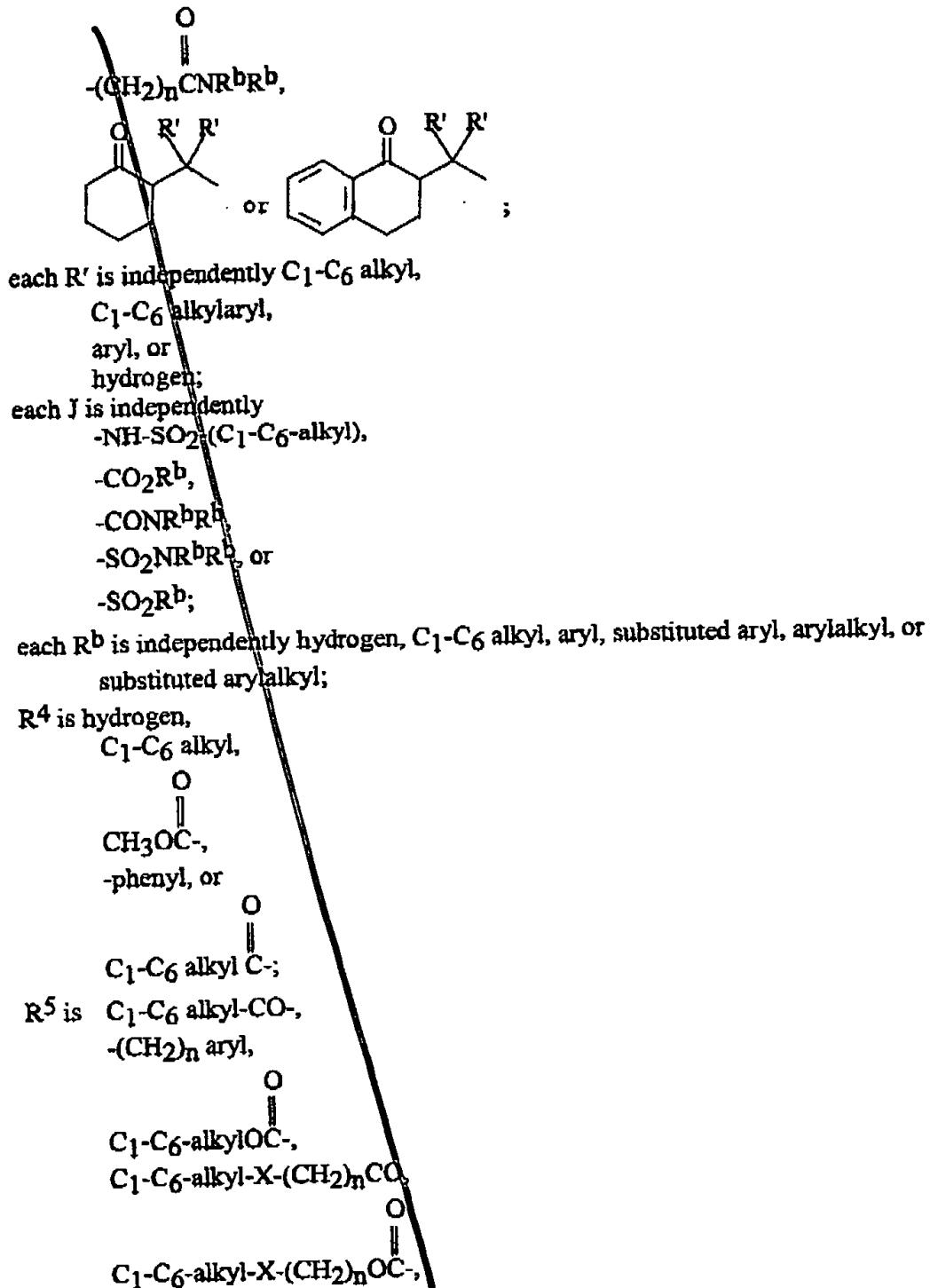
substituted cycloalkyl,

$\begin{array}{c} O \\ || \\ -(CRR)_nCNR^aR^a \end{array}$

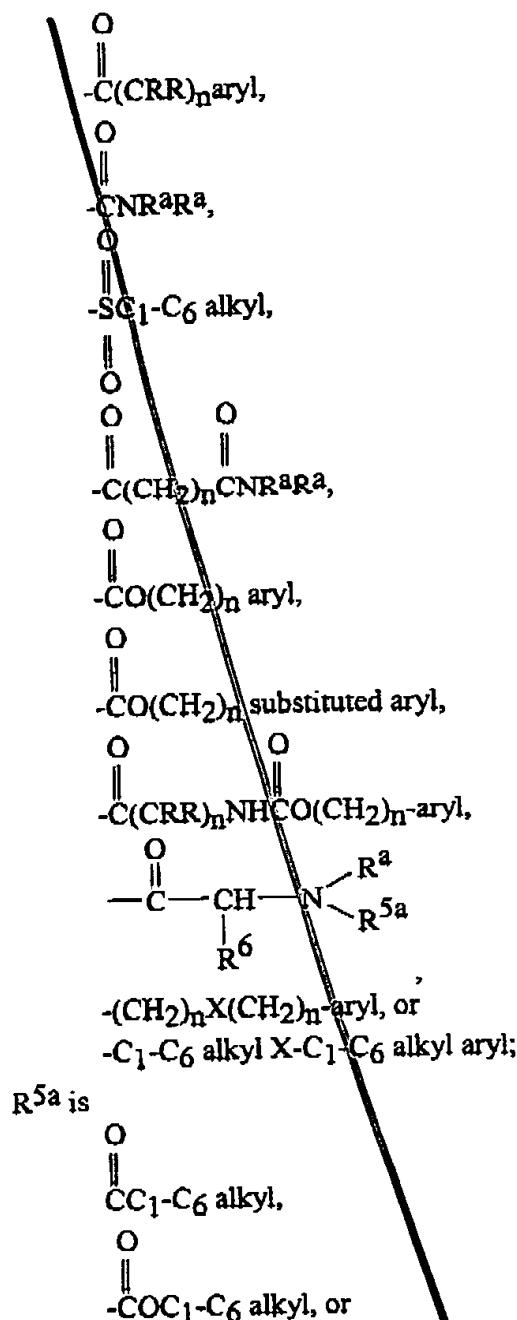
U.S. Serial No. 09/284,424  
Group Art Unit No. 1623



U.S. Serial No. 09/284,424  
Group Art Unit N . 1623



U.S. Serial No. 09/284,424  
Group Art Unit No. 1623



U.S. Serial No. 09/284,424  
Group Art Unit No. 1623

*C  
Concl'd*

~~$$\begin{array}{c} \text{O} & \text{O} \\ \diagdown & \diagup \\ \text{-C-CN-NHCC}_1\text{-C}_6\text{ alkyl,} \\ | \\ (\text{CH}_2)_n \\ | \\ \text{aryl or substituted aryl;} \end{array}$$~~

$R^6$  is hydrogen,  $C_1$ - $C_6$  alkyl,  $-(\text{CH}_2)_n$  aryl,  $-(\text{CH}_2)_n\text{CO}_2\text{R}^8$ , or hydroxyl substituted  $C_1$ - $C_6$  alkyl;

$R^7$  is hydrogen,  $-\text{S-}(C_1\text{-}C_6\text{-alkyl})$ , or  $-\text{SO}_2\text{-}(C_1\text{-}C_6\text{-alkyl})$ ;

each  $n$  is independently 0 to 3, and the pharmaceutically acceptable, salts, esters, amides, and prodrugs thereof.